



Response to Office Action  
Ser. No. 09/401,004  
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### I. AMENDMENTS

#### Clean version

#### In the claims:

Please cancel claims 16 and 37 without prejudice.

Please amend the claims as follows:

17. (Amended) The single compound of claim 39, wherein:

$R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  are, independently, selected from the group consisting of a hydrogen atom, halo,  $C_1$  to  $C_{12}$  alkyl,  $C_1$  to  $C_{12}$  substituted alkyl, carboxy, and the group consisting of (i) the formula  $-C(O)NR^{11}R^{12}$  and (ii) the formula  $-C(O)R^{11}$ , wherein  $R^{11}$  and  $R^{12}$  are, independently, selected from the group consisting of a hydrogen atom,  $C_1$  to  $C_{12}$  alkyl,  $C_1$  to  $C_{12}$  substituted alkyl,  $C_2$  to  $C_{12}$  alkenyl,  $C_2$  to  $C_{12}$  substituted alkenyl,  $C_7$  to  $C_{18}$  phenylalkyl,  $C_7$  to  $C_{18}$  substituted phenylalkyl,  $C_1$  to  $C_{12}$  heterocycloalkyl,  $C_1$  to  $C_{12}$  substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle.

18. (Amended) The single compound of claim 39, wherein:

$R^1$ ,  $R^2$ , and  $R^4$  are each a hydrogen atom and  $R^3$  is selected from the group consisting of halo,  $C_1$  to  $C_{12}$  alkyl,  $C_1$  to  $C_{12}$  substituted alkyl, carboxy, and the group consisting of (i) the formula  $-C(O)NR^{11}R^{12}$  and (ii) the formula  $-C(O)R^{11}$ , wherein  $R^{11}$  and  $R^{12}$  are, independently, selected from the

group consisting of a hydrogen atom, C<sub>1</sub> to C<sub>12</sub> alkyl, C<sub>1</sub> to C<sub>12</sub> substituted alkyl, C<sub>2</sub> to C<sub>12</sub> alkenyl, C<sub>2</sub> to C<sub>12</sub> substituted alkenyl, C<sub>7</sub> to C<sub>18</sub> phenylalkyl, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkyl, C<sub>1</sub> to C<sub>12</sub> heterocycloalkyl, C<sub>1</sub> to C<sub>12</sub> substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle.

19. (Amended) The single compound of claim 36, wherein:

R<sup>5</sup> is selected from the group consisting of a hydrogen atom, C<sub>1</sub> to C<sub>12</sub> alkyl, C<sub>1</sub> to C<sub>12</sub> substituted alkyl, phenyl, substituted phenyl, C<sub>7</sub> to C<sub>18</sub> phenylalkyl, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkyl, C<sub>1</sub> to C<sub>12</sub> heterocycloalkyl, C<sub>1</sub> to C<sub>12</sub> substituted heterocycloalkyl, heterocycle, substituted heterocycle, C<sub>3</sub> to C<sub>7</sub> cycloalkyl and C<sub>3</sub> to C<sub>7</sub> substituted cycloalkyl.

20. (Amended) The single compound of claim 39, wherein:

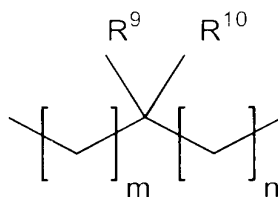
R<sup>6</sup> is the formula:

-D-W-E-

wherein:

W is absent or selected from the group consisting of phenylene, substituted phenylene, C<sub>3</sub> to C<sub>7</sub> cycloalkylene and C<sub>3</sub> to C<sub>7</sub> substituted cycloalkylene; and

D, which is directly attached to the nitrogen depicted in the formula, and E, which can be absent, are, independently, selected from the group consisting of C<sub>1</sub> to C<sub>12</sub> alkylene, C<sub>1</sub> to C<sub>12</sub> substituted alkylene, -NH- and the formula:



wherein:

R<sup>9</sup> and R<sup>10</sup> are, independently, selected from the group consisting of a hydrogen atom, C<sub>1</sub> to C<sub>12</sub> alkyl, C<sub>1</sub> to C<sub>12</sub> substituted alkyl, C<sub>3</sub> to C<sub>7</sub> cycloalkyl, C<sub>3</sub> to C<sub>7</sub> substituted cycloalkyl, C<sub>7</sub> to C<sub>18</sub> phenylalkyl, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkyl, phenyl, substituted phenyl; and m and n are, independently, 0, 1 or 2.

21. (Amended) The single compound of claim 39, wherein:

R<sup>1</sup> and R<sup>2</sup> are each a hydrogen atom.

22. (Amended) The single compound of claim 36, wherein:

R<sup>1</sup>, R<sup>2</sup> and R<sup>4</sup> are, independently, selected from the group consisting of a hydrogen atom, halo, C<sub>1</sub> to C<sub>12</sub> alkyl, C<sub>1</sub> to C<sub>12</sub> substituted alkyl, carboxy, and the group consisting of (i) the formula -C(O)NR<sup>11</sup>R<sup>12</sup> and (ii) the formula -C(O)R<sup>11</sup>, wherein R<sup>11</sup> and R<sup>12</sup> are, independently, selected from the group consisting of a hydrogen atom, C<sub>1</sub> to C<sub>12</sub> alkyl, C<sub>1</sub> to C<sub>12</sub> substituted alkyl, C<sub>2</sub> to C<sub>12</sub> alkenyl, C<sub>2</sub> to C<sub>12</sub> substituted alkenyl, C<sub>7</sub> to C<sub>18</sub> phenylalkyl, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkyl, C<sub>1</sub> to C<sub>12</sub> heterocycloalkyl, C<sub>1</sub> to C<sub>12</sub> substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle;

R<sup>3</sup> is selected from the group consisting of a C<sub>1</sub> to C<sub>12</sub> substituted alkyl, carboxy, and the group consisting of (i) the formula -C(O)NR<sup>11</sup>R<sup>12</sup> and (ii) the formula -C(O)R<sup>11</sup>, wherein R<sup>11</sup> and R<sup>12</sup> are, independently, selected from the group consisting of a hydrogen atom, C<sub>1</sub> to C<sub>12</sub> alkyl, C<sub>1</sub> to C<sub>12</sub> substituted alkyl, C<sub>2</sub> to C<sub>12</sub> alkenyl, C<sub>2</sub> to C<sub>12</sub> substituted alkenyl, C<sub>7</sub> to C<sub>18</sub> phenylalkyl, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkyl, C<sub>1</sub> to C<sub>12</sub> heterocycloalkyl, C<sub>1</sub> to C<sub>12</sub> substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle;

R<sup>5</sup> is selected from the group consisting of a hydrogen atom, C<sub>1</sub> to C<sub>12</sub> alkyl, C<sub>1</sub> to C<sub>12</sub> substituted alkyl, phenyl, substituted phenyl, C<sub>7</sub> to C<sub>18</sub> phenylalkyl, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkyl, C<sub>1</sub> to C<sub>12</sub> heterocycloalkyl, C<sub>1</sub> to

C<sub>12</sub> substituted heterocycloalkyl, heterocycle, substituted heterocycle, C<sub>3</sub> to C<sub>7</sub> cycloalkyl and C<sub>3</sub> to C<sub>7</sub> substituted cycloalkyl;

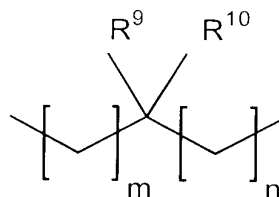
R<sup>6</sup> is the formula:

-D-W-E-

wherein:

W is absent or selected from the group consisting of phenylene, substituted phenylene, C<sub>3</sub> to C<sub>7</sub> cycloalkylene and C<sub>3</sub> to C<sub>7</sub> substituted cycloalkylene; and

D, which is directly attached to the nitrogen depicted in the formula, and E, which can be absent, are, independently, selected from the group consisting of C<sub>1</sub> to C<sub>12</sub> alkylene, C<sub>1</sub> to C<sub>12</sub> substituted alkylene, -NH- and the formula:



wherein:

R<sup>9</sup> and R<sup>10</sup> are, independently, selected from the group consisting of a hydrogen atom, C<sub>1</sub> to C<sub>12</sub> alkyl, C<sub>1</sub> to C<sub>12</sub> substituted alkyl, C<sub>3</sub> to C<sub>7</sub> cycloalkyl, C<sub>3</sub> to C<sub>7</sub> substituted cycloalkyl, C<sub>7</sub> to C<sub>18</sub> phenylalkyl, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkyl, phenyl, substituted phenyl; and m and n are independently 0, 1 or 2; and

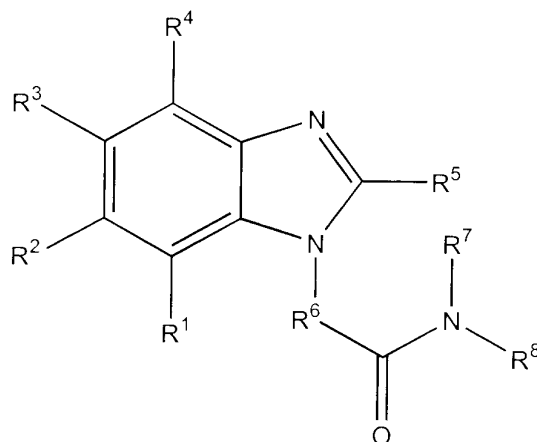
R<sup>7</sup> and R<sup>8</sup> are each a hydrogen atom.

23. (Amended) The single compound of claim 36, wherein R<sup>6</sup> is methylene, R<sup>1</sup>, R<sup>2</sup> and R<sup>4</sup> are each a hydrogen atom and R<sup>3</sup> is the formula -C(O)NR<sup>11</sup>R<sup>12</sup>.

24. (Amended) The single compound of claim 36, wherein R<sup>6</sup> is methylene, R<sup>1</sup>, R<sup>2</sup> and R<sup>4</sup> are each a hydrogen atom and R<sup>3</sup> is the formula -C(O)R<sup>11</sup>, wherein R<sup>11</sup> is a heterocyclic ring or substituted heterocyclic ring, wherein said ring contains at least one nitrogen atom and wherein said nitrogen atom is attached to the carbonyl carbon.

25. (Amended) The single compound of claim 36, wherein R<sup>6</sup> is not methylene.

36. (Amended)) A single compound of the formula:



wherein:

R<sup>1</sup>, R<sup>2</sup> and R<sup>4</sup> are, independently, selected from the group consisting of a hydrogen atom, halo, hydroxy, protected hydroxy, cyano, C<sub>1</sub> to C<sub>12</sub> alkyl, C<sub>2</sub> to C<sub>12</sub> alkenyl, C<sub>2</sub> to C<sub>12</sub> alkynyl, C<sub>1</sub> to C<sub>12</sub> substituted alkyl, C<sub>2</sub> to C<sub>12</sub> substituted alkenyl, C<sub>2</sub> to C<sub>12</sub> substituted alkynyl, C<sub>1</sub> to C<sub>12</sub> alkoxy, C<sub>1</sub> to C<sub>12</sub> substituted alkoxy, C<sub>1</sub> to C<sub>12</sub> acyloxy, C<sub>1</sub> to C<sub>12</sub> acyl, C<sub>3</sub> to C<sub>7</sub> cycloalkyl, C<sub>3</sub> to C<sub>7</sub> substituted cycloalkyl, C<sub>5</sub> to C<sub>7</sub> cycloalkenyl, C<sub>5</sub> to C<sub>7</sub> substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C<sub>7</sub> to C<sub>18</sub> phenylalkyl, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkyl, C<sub>1</sub> to C<sub>12</sub> heterocycloalkyl, C<sub>1</sub> to C<sub>12</sub> substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C<sub>2</sub> to C<sub>7</sub> alkylene, substituted cyclic C<sub>2</sub> to C<sub>7</sub> alkylene, cyclic C<sub>2</sub> to C<sub>7</sub> heteroalkylene, substituted cyclic C<sub>2</sub> to C<sub>7</sub> heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, protected amino,

(monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino, C<sub>1</sub> to C<sub>10</sub> alkylamino, C<sub>1</sub> to C<sub>10</sub> substituted alkylamino, carboxamide, protected carboxamide, C<sub>1</sub> to C<sub>10</sub> alkylthio, C<sub>1</sub> to C<sub>10</sub> substituted alkylthio, C<sub>1</sub> to C<sub>10</sub> alkylsulfonyl, C<sub>1</sub> to C<sub>10</sub> substituted alkylsulfonyl, C<sub>1</sub> to C<sub>10</sub> alkylsulfoxide, C<sub>1</sub> to C<sub>10</sub> substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl and the group consisting of (i) the formula -C(O)NR<sup>11</sup>R<sup>12</sup>, (ii) the formula -C(O)R<sup>11</sup>, (iii) the formula -NR<sup>11</sup>R<sup>12</sup>, (iv) the formula -SR<sup>11</sup>, (v) the formula -OR<sup>11</sup> and (vi) the formula -C(O)OR<sup>11</sup>, wherein R<sup>11</sup> and R<sup>12</sup> are, independently, selected from the group consisting of a hydrogen atom, C<sub>1</sub> to C<sub>12</sub> alkyl, C<sub>1</sub> to C<sub>12</sub> substituted alkyl, C<sub>2</sub> to C<sub>12</sub> alkenyl, C<sub>2</sub> to C<sub>12</sub> substituted alkenyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, C<sub>7</sub> to C<sub>18</sub> phenylalkyl, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkyl, C<sub>1</sub> to C<sub>12</sub> heterocycloalkyl, C<sub>1</sub> to C<sub>12</sub> substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle, substituted heterocycle, phenylsulfonyl, substituted phenylsulfonyl, C<sub>1</sub> to C<sub>10</sub> alkylsulfonyl, C<sub>1</sub> to C<sub>10</sub> substituted alkylsulfonyl, C<sub>1</sub> to C<sub>12</sub> alkylaminocarbonyl, C<sub>1</sub> to C<sub>12</sub> substituted alkylaminocarbonyl, phenylaminocarbonyl and substituted phenylaminocarbonyl;

R<sup>3</sup> is selected from the group consisting of hydroxy, protected hydroxy, cyano, C<sub>2</sub> to C<sub>12</sub> alkenyl, C<sub>2</sub> to C<sub>12</sub> alkynyl, C<sub>1</sub> to C<sub>12</sub> substituted alkyl, C<sub>2</sub> to C<sub>12</sub> substituted alkenyl, C<sub>2</sub> to C<sub>12</sub> substituted alkynyl, C<sub>1</sub> to C<sub>12</sub> alkoxy, C<sub>1</sub> to C<sub>12</sub> substituted alkoxy, C<sub>1</sub> to C<sub>12</sub> acyloxy, C<sub>1</sub> to C<sub>12</sub> acyl,



C<sub>3</sub> to C<sub>7</sub> cycloalkyl, C<sub>3</sub> to C<sub>7</sub> substituted cycloalkyl, C<sub>5</sub> to C<sub>7</sub> cycloalkenyl, C<sub>5</sub> to C<sub>7</sub> substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C<sub>7</sub> to C<sub>18</sub> phenylalkyl, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkyl, C<sub>1</sub> to C<sub>12</sub> heterocycloalkyl, C<sub>1</sub> to C<sub>12</sub> substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C<sub>2</sub> to C<sub>7</sub> alkylene, substituted cyclic C<sub>2</sub> to C<sub>7</sub> alkylene, cyclic C<sub>2</sub> to C<sub>7</sub> heteroalkylene, substituted cyclic C<sub>2</sub> to C<sub>7</sub> heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, protected amino, (monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino, C<sub>1</sub> to C<sub>10</sub> alkylamino, C<sub>1</sub> to C<sub>10</sub> substituted alkylamino, carboxamide, protected carboxamide, C<sub>1</sub> to C<sub>10</sub> alkylthio, C<sub>1</sub> to C<sub>10</sub> substituted alkylthio, C<sub>1</sub> to C<sub>10</sub> alkylsulfonyl, C<sub>1</sub> to C<sub>10</sub> substituted alkylsulfonyl, C<sub>1</sub> to C<sub>10</sub> alkylsulfoxide, C<sub>1</sub> to C<sub>10</sub> substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl and the group consisting of (i) the formula -C(O)NR<sup>11</sup>R<sup>12</sup>, (ii) the formula -C(O)R<sup>11</sup>, (iii) the formula -NR<sup>11</sup>R<sup>12</sup>, (iv) the formula -SR<sup>11</sup>, (v) the formula -OR<sup>11</sup> and (vi) the formula -C(O)OR<sup>11</sup>, wherein R<sup>11</sup> and R<sup>12</sup> are, independently, selected from the group consisting of a hydrogen atom, C<sub>1</sub> to C<sub>12</sub> alkyl, C<sub>1</sub> to C<sub>12</sub> substituted alkyl, C<sub>2</sub> to C<sub>12</sub> alkenyl, C<sub>2</sub> to C<sub>12</sub> substituted alkenyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, C<sub>7</sub> to C<sub>18</sub> phenylalkyl, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkyl, C<sub>1</sub> to C<sub>12</sub> heterocycloalkyl, C<sub>1</sub> to C<sub>12</sub> substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle, substituted heterocycle, phenylsulfonyl, substituted

phenylsulfonyl, C<sub>1</sub> to C<sub>10</sub> alkylsulfonyl, C<sub>1</sub> to C<sub>10</sub>  
substituted alkylsulfonyl, C<sub>1</sub> to C<sub>12</sub> alkylaminocarbonyl, C<sub>1</sub>  
to C<sub>12</sub> substituted alkylaminocarbonyl, phenylaminocarbonyl  
and substituted phenylaminocarbonyl;

R<sup>5</sup> is selected from the group consisting of a hydrogen atom,  
C<sub>1</sub> to C<sub>12</sub> alkyl, C<sub>1</sub> to C<sub>12</sub> substituted alkyl, phenyl,  
substituted phenyl, C<sub>7</sub> to C<sub>18</sub> phenylalkyl, C<sub>7</sub> to C<sub>18</sub>  
substituted phenylalkyl, C<sub>1</sub> to C<sub>12</sub> heterocycloalkyl, C<sub>1</sub> to  
C<sub>12</sub> substituted heterocycloalkyl, carboxy, protected  
carboxy, cyano, protected (monosubstituted)amino,  
(disubstituted)amino, C<sub>1</sub> to C<sub>12</sub> acyl, C<sub>1</sub> to C<sub>12</sub> substituted  
acyl, C<sub>1</sub> to C<sub>12</sub> alkoxy carbonyl, C<sub>1</sub> to C<sub>12</sub> substituted  
alkoxy carbonyl, heterocycle, substituted heterocycle,  
naphthyl, substituted naphthyl, C<sub>3</sub> to C<sub>7</sub> cycloalkyl, C<sub>3</sub> to C<sub>7</sub>  
substituted cycloalkyl, C<sub>5</sub> to C<sub>7</sub> cycloalkenyl and C<sub>5</sub> to C<sub>7</sub>  
substituted cycloalkenyl;

R<sup>6</sup> is the formula:

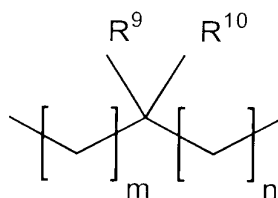
-D-W-E-

wherein:

W is absent or selected from the group consisting  
of phenylene, substituted phenylene, C<sub>3</sub> to C<sub>7</sub>  
cycloalkylene, C<sub>3</sub> to C<sub>7</sub> substituted cycloalkylene,  
C<sub>5</sub> to C<sub>7</sub> cycloalkenylene, C<sub>5</sub> to C<sub>7</sub> substituted  
cycloalkenylene, arylene, substituted arylene,

heterocyclene, substituted heterocyclene,  
heteroarylene and substituted heteroarylene;

and D, which is directly attached to the nitrogen depicted in the formula, and E, which can be absent, are independently selected from the group consisting of C<sub>1</sub> to C<sub>12</sub> alkylene, C<sub>2</sub> to C<sub>12</sub> alkenylene, C<sub>2</sub> to C<sub>12</sub> alkynylene, C<sub>1</sub> to C<sub>12</sub> substituted alkylene, C<sub>2</sub> to C<sub>12</sub> substituted alkenylene, C<sub>2</sub> to C<sub>12</sub> substituted alkynylene, C<sub>3</sub> to C<sub>7</sub> cycloalkylene, C<sub>3</sub> to C<sub>7</sub> substituted cycloalkylene, C<sub>5</sub> to C<sub>7</sub> cycloalkenylene, C<sub>5</sub> to C<sub>7</sub> substituted cycloalkenylene, C<sub>7</sub> to C<sub>18</sub> phenylalkylene, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkylene, C<sub>1</sub> to C<sub>12</sub> heterocycloalkylene and C<sub>1</sub> to C<sub>12</sub> substituted heterocycloalkylene, -NH- and the formula:



wherein R<sup>9</sup> and R<sup>10</sup> are, independently, selected from the group consisting of a hydrogen atom, C<sub>1</sub> to C<sub>12</sub> alkyl, C<sub>2</sub> to C<sub>12</sub> alkenyl, C<sub>2</sub> to C<sub>12</sub> alkynyl, C<sub>1</sub> to C<sub>12</sub> substituted alkyl, C<sub>2</sub> to C<sub>12</sub> substituted

alkenyl, C<sub>2</sub> to C<sub>12</sub> substituted alkynyl, C<sub>1</sub> to C<sub>12</sub>  
acyl, C<sub>1</sub> to C<sub>12</sub> substituted acyl, C<sub>3</sub> to C<sub>7</sub>  
cycloalkyl, C<sub>3</sub> to C<sub>7</sub> substituted cycloalkyl, C<sub>5</sub> to  
C<sub>7</sub> cycloalkenyl, C<sub>5</sub> to C<sub>7</sub> substituted  
cycloalkenyl, a heterocyclic ring, substituted  
heterocyclic ring, heteroaryl, substituted  
heteroaryl, C<sub>7</sub> to C<sub>18</sub> phenylalkyl, C<sub>7</sub> to C<sub>18</sub>  
substituted phenylalkyl, C<sub>1</sub> to C<sub>12</sub>  
heterocycloalkyl, C<sub>1</sub> to C<sub>12</sub> substituted  
heterocycloalkyl, C<sub>7</sub> to C<sub>18</sub> phenylalkoxy, C<sub>7</sub> to C<sub>18</sub>  
substituted phenylalkoxy, phenyl, substituted  
phenyl, naphthyl, substituted naphthyl, cyclic C<sub>2</sub>  
to C<sub>7</sub> alkylene, substituted cyclic C<sub>2</sub> to C<sub>7</sub>  
alkylene, cyclic C<sub>2</sub> to C<sub>7</sub> heteroalkylene,  
substituted cyclic C<sub>2</sub> to C<sub>7</sub> heteroalkylene,  
carboxy, protected carboxy, hydroxymethyl and  
protected hydroxymethyl; and m and n are,  
independently, 0, 1, 2, 3 or 4; and

R<sup>7</sup> and R<sup>8</sup> are, independently, selected from the group  
consisting of a functionalized resin, a hydrogen atom, C<sub>1</sub> to  
C<sub>12</sub> alkyl, C<sub>1</sub> to C<sub>12</sub> substituted alkyl, phenyl, substituted  
phenyl, heterocycle, substituted heterocycle, C<sub>3</sub> to C<sub>7</sub>  
cycloalkyl, C<sub>3</sub> to C<sub>7</sub> substituted cycloalkyl, C<sub>5</sub> to C<sub>7</sub>  
cycloalkenyl, C<sub>5</sub> to C<sub>7</sub> substituted cycloalkenyl, C<sub>2</sub> to C<sub>12</sub>  
alkenyl, C<sub>2</sub> to C<sub>12</sub> substituted alkenyl, C<sub>7</sub> to C<sub>18</sub>  
phenylalkyl, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkyl, C<sub>1</sub> to C<sub>12</sub>  
heterocycloalkyl and C<sub>1</sub> to C<sub>12</sub> substituted heterocycloalkyl,  
C<sub>1</sub> to C<sub>12</sub> acyl, C<sub>1</sub> to C<sub>12</sub> substituted acyl, phenylsulfonyl,  
substituted phenylsulfonyl, C<sub>1</sub> to C<sub>10</sub> alkylsulfonyl, C<sub>1</sub> to

C<sub>10</sub> substituted alkylsulfonyl, C<sub>1</sub> to C<sub>12</sub> alkylaminocarbonyl, C<sub>1</sub> to C<sub>12</sub> substituted alkylaminocarbonyl, phenylaminocarbonyl, substituted phenylaminocarbonyl, C<sub>1</sub> to C<sub>12</sub> alkylaminothiocarbonyl, C<sub>1</sub> to C<sub>12</sub> substituted alkylaminothiocarbonyl, phenylaminothiocarbonyl and substituted phenylaminothiocarbonyl;

provided that, where R<sup>6</sup> is methylene, at least one of R<sup>1</sup> to R<sup>4</sup> must be the formula -C(O)NR<sup>11</sup>R<sup>12</sup>; or

provided that, where R<sup>6</sup> is methylene, at least one of R<sup>1</sup> to R<sup>4</sup> must be the formula -C(O)R<sup>11</sup>, wherein R<sup>11</sup> is a heterocyclic ring or substituted heterocyclic ring, wherein said ring contains at least one nitrogen atom and wherein said nitrogen atom is attached to the carbonyl carbon; or

a pharmaceutically acceptable salt of a compound thereof.

31. (Amended) A method of preparing the single compound of claim 36, comprising:

(a) coupling a first compound having a substituent of the formula -NH-C(O)-variable group-NH<sub>2</sub> with a benzene compound that is substituted with a nitro group and a halo group in an ortho relationship on the benzene ring, the benzene compound optionally substituted with a variable group at one or more of the remaining 4 positions of the benzene ring, resulting in a benzene compound substituted with a nitro group and a monosubstituted amino group in an ortho relationship on the benzene ring;

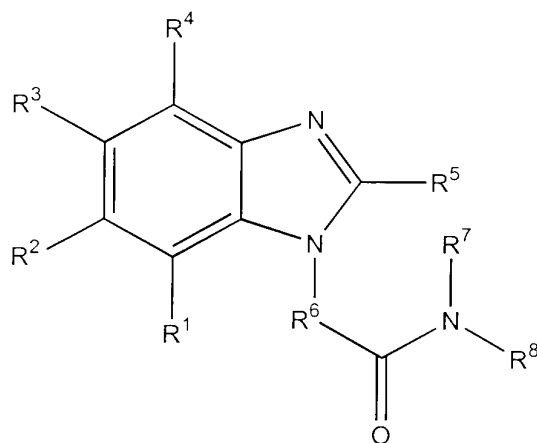
(b) reducing the nitro group of the benzene compound resulting from step (a); and

(c) coupling the compound resulting from step (b) with an aldehyde compound, resulting in a benzimidazole derivative compound.

35. (Amended) The single compound of claim 39, wherein R<sup>4</sup> is selected from the group consisting of a hydrogen atom, halo, hydroxy, protected hydroxy, cyano, C<sub>1</sub> to C<sub>12</sub> alkyl, C<sub>2</sub> to C<sub>12</sub> alkenyl, C<sub>2</sub> to C<sub>12</sub> alkynyl, C<sub>1</sub> to C<sub>12</sub> substituted alkyl, C<sub>2</sub> to C<sub>12</sub> substituted alkenyl, C<sub>2</sub> to C<sub>12</sub> substituted alkynyl, C<sub>1</sub> to C<sub>12</sub> alkoxy, C<sub>1</sub> to C<sub>12</sub> substituted alkoxy, C<sub>1</sub> to C<sub>12</sub> acyloxy, C<sub>1</sub> to C<sub>12</sub> acyl, C<sub>3</sub> to C<sub>7</sub> cycloalkyl, C<sub>3</sub> to C<sub>7</sub> substituted cycloalkyl, C<sub>5</sub> to C<sub>7</sub> cycloalkenyl, C<sub>5</sub> to C<sub>7</sub> substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C<sub>7</sub> to C<sub>18</sub> phenylalkyl, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkyl, C<sub>1</sub> to C<sub>12</sub> heterocycloalkyl, C<sub>1</sub> to C<sub>12</sub> substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C<sub>2</sub> to C<sub>7</sub> alkylene, substituted cyclic C<sub>2</sub> to C<sub>7</sub> alkylene, cyclic C<sub>2</sub> to C<sub>7</sub> heteroalkylene, substituted cyclic C<sub>2</sub> to C<sub>7</sub> heteroalkylene.

Please add the following claims:

42. (New) A single compound of the formula:



wherein:

R<sup>1</sup>, R<sup>2</sup> and R<sup>4</sup> are, independently, selected from the group consisting of a hydrogen atom, halo, hydroxy, protected hydroxy, cyano, C<sub>1</sub> to C<sub>12</sub> alkyl, C<sub>2</sub> to C<sub>12</sub> alkenyl, C<sub>2</sub> to C<sub>12</sub> alkynyl, C<sub>1</sub> to C<sub>12</sub> substituted alkyl, C<sub>2</sub> to C<sub>12</sub> substituted alkenyl, C<sub>2</sub> to C<sub>12</sub> substituted alkynyl, C<sub>1</sub> to C<sub>12</sub> alkoxy, C<sub>1</sub> to C<sub>12</sub> substituted alkoxy, C<sub>1</sub> to C<sub>12</sub> acyloxy, C<sub>1</sub> to C<sub>12</sub> acyl, C<sub>3</sub> to C<sub>7</sub> cycloalkyl, C<sub>3</sub> to C<sub>7</sub> substituted cycloalkyl, C<sub>5</sub> to C<sub>7</sub> cycloalkenyl, C<sub>5</sub> to C<sub>7</sub> substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C<sub>7</sub> to C<sub>18</sub> phenylalkyl, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkyl, C<sub>1</sub> to C<sub>12</sub> heterocycloalkyl, C<sub>1</sub> to C<sub>12</sub> substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl,

cyclic C<sub>2</sub> to C<sub>7</sub> alkylene, substituted cyclic C<sub>2</sub> to C<sub>7</sub> alkylene, cyclic C<sub>2</sub> to C<sub>7</sub> heteroalkylene, substituted cyclic C<sub>2</sub> to C<sub>7</sub> heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, protected amino, (monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino, C<sub>1</sub> to C<sub>10</sub> alkylamino, C<sub>1</sub> to C<sub>10</sub> substituted alkylamino, carboxamide, protected carboxamide, C<sub>1</sub> to C<sub>10</sub> alkylthio, C<sub>1</sub> to C<sub>10</sub> substituted alkylthio, C<sub>1</sub> to C<sub>10</sub> alkylsulfonyl, C<sub>1</sub> to C<sub>10</sub> substituted alkylsulfonyl, C<sub>1</sub> to C<sub>10</sub> alkylsulfoxide, C<sub>1</sub> to C<sub>10</sub> substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl and the group consisting of (i) the formula -C(O)NR<sup>11</sup>R<sup>12</sup>, (ii) the formula -C(O)R<sup>11</sup>, (iii) the formula -NR<sup>11</sup>R<sup>12</sup>, (iv) the formula -SR<sup>11</sup>, (v) the formula -OR<sup>11</sup> and (vi) the formula -C(O)OR<sup>11</sup>, wherein R<sup>11</sup> and R<sup>12</sup> are, independently, selected from the group consisting of a hydrogen atom, C<sub>1</sub> to C<sub>12</sub> alkyl, C<sub>1</sub> to C<sub>12</sub> substituted alkyl, C<sub>2</sub> to C<sub>12</sub> alkenyl, C<sub>2</sub> to C<sub>12</sub> substituted alkenyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, C<sub>7</sub> to C<sub>18</sub> phenylalkyl, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkyl, C<sub>1</sub> to C<sub>12</sub> heterocycloalkyl, C<sub>1</sub> to C<sub>12</sub> substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle, substituted heterocycle, phenylsulfonyl, substituted phenylsulfonyl, C<sub>1</sub> to C<sub>10</sub> alkylsulfonyl, C<sub>1</sub> to C<sub>10</sub> substituted alkylsulfonyl, C<sub>1</sub> to C<sub>12</sub> alkylaminocarbonyl, C<sub>1</sub> to C<sub>12</sub> substituted alkylaminocarbonyl, phenylaminocarbonyl and substituted phenylaminocarbonyl;



$R^3$  is selected from the group consisting of hydroxy, protected hydroxy, cyano,  $C_2$  to  $C_{12}$  alkenyl,  $C_2$  to  $C_{12}$  alkynyl,  $C_1$  to  $C_{12}$  substituted alkyl,  $C_2$  to  $C_{12}$  substituted alkenyl,  $C_2$  to  $C_{12}$  substituted alkynyl,  $C_1$  to  $C_{12}$  alkoxy,  $C_1$  to  $C_{12}$  substituted alkoxy,  $C_1$  to  $C_{12}$  acyloxy,  $C_1$  to  $C_{12}$  acyl,  $C_3$  to  $C_7$  cycloalkyl,  $C_3$  to  $C_7$  substituted cycloalkyl,  $C_5$  to  $C_7$  cycloalkenyl,  $C_5$  to  $C_7$  substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring,  $C_7$  to  $C_{18}$  phenylalkyl,  $C_7$  to  $C_{18}$  substituted phenylalkyl,  $C_1$  to  $C_{12}$  heterocycloalkyl,  $C_1$  to  $C_{12}$  substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic  $C_2$  to  $C_7$  alkylene, substituted cyclic  $C_2$  to  $C_7$  alkylene, cyclic  $C_2$  to  $C_7$  heteroalkylene, substituted cyclic  $C_2$  to  $C_7$  heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, protected amino, (monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino,  $C_1$  to  $C_{10}$  alkylamino,  $C_1$  to  $C_{10}$  substituted alkylamino, carboxamide, protected carboxamide,  $C_1$  to  $C_{10}$  alkylthio,  $C_1$  to  $C_{10}$  substituted alkylthio,  $C_1$  to  $C_{10}$  alkylsulfonyl,  $C_1$  to  $C_{10}$  substituted alkylsulfonyl,  $C_1$  to  $C_{10}$  alkylsulfoxide,  $C_1$  to  $C_{10}$  substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl and the group consisting of (i) the formula  $-C(O)NR^{11}R^{12}$ , (ii) the formula  $-C(O)R^{11}$ , (iii) the formula  $-NR^{11}R^{12}$ , (iv) the formula  $-SR^{11}$ , (v) the formula  $-OR^{11}$  and (vi) the formula  $-C(O)OR^{11}$ , wherein  $R^{11}$  and  $R^{12}$  are, independently, selected from the group consisting of a hydrogen atom,  $C_1$  to  $C_{12}$  alkyl,  $C_1$  to  $C_{12}$  substituted alkyl,  $C_2$  to  $C_{12}$  alkenyl,  $C_2$  to  $C_{12}$  substituted alkenyl, phenyl,

substituted phenyl, naphthyl, substituted naphthyl, C<sub>7</sub> to C<sub>18</sub> phenylalkyl, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkyl, C<sub>1</sub> to C<sub>12</sub> heterocycloalkyl, C<sub>1</sub> to C<sub>12</sub> substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle, substituted heterocycle, phenylsulfonyl, substituted phenylsulfonyl, C<sub>1</sub> to C<sub>10</sub> alkylsulfonyl, C<sub>1</sub> to C<sub>10</sub> substituted alkylsulfonyl, C<sub>1</sub> to C<sub>12</sub> alkylaminocarbonyl, C<sub>1</sub> to C<sub>12</sub> substituted alkylaminocarbonyl, phenylaminocarbonyl and substituted phenylaminocarbonyl;

R<sup>5</sup> is selected from the group consisting of a hydrogen atom, C<sub>1</sub> to C<sub>12</sub> alkyl, C<sub>1</sub> to C<sub>12</sub> substituted alkyl, phenyl, substituted phenyl, C<sub>7</sub> to C<sub>18</sub> phenylalkyl, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkyl, C<sub>1</sub> to C<sub>12</sub> heterocycloalkyl, C<sub>1</sub> to C<sub>12</sub> substituted heterocycloalkyl, carboxy, protected carboxy, cyano, protected (monosubstituted)amino, (disubstituted)amino, C<sub>1</sub> to C<sub>12</sub> acyl, C<sub>1</sub> to C<sub>12</sub> substituted acyl, C<sub>1</sub> to C<sub>12</sub> alkoxycarbonyl, C<sub>1</sub> to C<sub>12</sub> substituted alkoxycarbonyl, heterocycle, substituted heterocycle, naphthyl, substituted naphthyl, C<sub>3</sub> to C<sub>7</sub> cycloalkyl, C<sub>3</sub> to C<sub>7</sub> substituted cycloalkyl, C<sub>5</sub> to C<sub>7</sub> cycloalkenyl and C<sub>5</sub> to C<sub>7</sub> substituted cycloalkenyl;

R<sup>6</sup> is the formula:

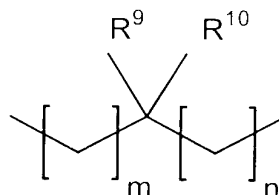
-D-W-E-

wherein:

zero, one or two of D, W and E can be absent;

W, if present, is selected from the group consisting of phenylene, substituted phenylene, C<sub>3</sub> to C<sub>7</sub> cycloalkylene, C<sub>3</sub> to C<sub>7</sub> substituted cycloalkylene, C<sub>5</sub> to C<sub>7</sub> cycloalkenylene, C<sub>5</sub> to C<sub>7</sub> substituted cycloalkenylene, arylene, substituted arylene, heterocyclene, substituted heterocyclene, heteroarylene and substituted heteroarylene;

and D, which is directly attached to the nitrogen depicted in the formula, if present and E, if present, are independently selected from the group consisting of C<sub>1</sub> to C<sub>12</sub> alkylene, C<sub>2</sub> to C<sub>12</sub> alkenylene, C<sub>2</sub> to C<sub>12</sub> alkynylene, C<sub>1</sub> to C<sub>12</sub> substituted alkylene, C<sub>2</sub> to C<sub>12</sub> substituted alkenylene, C<sub>2</sub> to C<sub>12</sub> substituted alkynylene, C<sub>3</sub> to C<sub>7</sub> cycloalkylene, C<sub>3</sub> to C<sub>7</sub> substituted cycloalkylene, C<sub>5</sub> to C<sub>7</sub> cycloalkenylene, C<sub>5</sub> to C<sub>7</sub> substituted cycloalkenylene, C<sub>7</sub> to C<sub>18</sub> phenylalkylene, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkylene, C<sub>1</sub> to C<sub>12</sub> heterocycloalkylene and C<sub>1</sub> to C<sub>12</sub> substituted heterocycloalkylene, -NH- and the formula:



wherein  $\text{R}^9$  and  $\text{R}^{10}$  are, independently, selected from the group consisting of a hydrogen atom,  $\text{C}_1$  to  $\text{C}_{12}$  alkyl,  $\text{C}_2$  to  $\text{C}_{12}$  alkenyl,  $\text{C}_2$  to  $\text{C}_{12}$  alkynyl,  $\text{C}_1$  to  $\text{C}_{12}$  substituted alkyl,  $\text{C}_2$  to  $\text{C}_{12}$  substituted alkenyl,  $\text{C}_2$  to  $\text{C}_{12}$  substituted alkynyl,  $\text{C}_1$  to  $\text{C}_{12}$  acyl,  $\text{C}_1$  to  $\text{C}_{12}$  substituted acyl,  $\text{C}_3$  to  $\text{C}_7$  cycloalkyl,  $\text{C}_3$  to  $\text{C}_7$  substituted cycloalkyl,  $\text{C}_5$  to  $\text{C}_7$  cycloalkenyl,  $\text{C}_5$  to  $\text{C}_7$  substituted cycloalkenyl, a heterocyclic ring, substituted heterocyclic ring, heteroaryl, substituted heteroaryl,  $\text{C}_7$  to  $\text{C}_{18}$  phenylalkyl,  $\text{C}_7$  to  $\text{C}_{18}$  substituted phenylalkyl,  $\text{C}_1$  to  $\text{C}_{12}$  heterocycloalkyl,  $\text{C}_1$  to  $\text{C}_{12}$  substituted heterocycloalkyl,  $\text{C}_7$  to  $\text{C}_{18}$  phenylalkoxy,  $\text{C}_7$  to  $\text{C}_{18}$  substituted phenylalkoxy, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic  $\text{C}_2$  to  $\text{C}_7$  alkylene, substituted cyclic  $\text{C}_2$  to  $\text{C}_7$  alkylene, cyclic  $\text{C}_2$  to  $\text{C}_7$  heteroalkylene, substituted cyclic  $\text{C}_2$  to  $\text{C}_7$  heteroalkylene, carboxy, protected carboxy, hydroxymethyl and protected hydroxymethyl; and  $m$  and  $n$  are, independently, 0, 1, 2, 3 or 4; and

R<sup>7</sup> and R<sup>8</sup> are, independently, selected from the group consisting of a functionalized resin, a hydrogen atom, C<sub>1</sub> to C<sub>12</sub> alkyl, C<sub>1</sub> to C<sub>12</sub> substituted alkyl, phenyl, substituted phenyl, heterocycle, substituted heterocycle, C<sub>3</sub> to C<sub>7</sub> cycloalkyl, C<sub>3</sub> to C<sub>7</sub> substituted cycloalkyl, C<sub>5</sub> to C<sub>7</sub> cycloalkenyl, C<sub>5</sub> to C<sub>7</sub> substituted cycloalkenyl, C<sub>2</sub> to C<sub>12</sub> alkenyl, C<sub>2</sub> to C<sub>12</sub> substituted alkenyl, C<sub>7</sub> to C<sub>18</sub> phenylalkyl, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkyl, C<sub>1</sub> to C<sub>12</sub> heterocycloalkyl and C<sub>1</sub> to C<sub>12</sub> substituted heterocycloalkyl, C<sub>1</sub> to C<sub>12</sub> acyl, C<sub>1</sub> to C<sub>12</sub> substituted acyl, phenylsulfonyl, substituted phenylsulfonyl, C<sub>1</sub> to C<sub>10</sub> alkylsulfonyl, C<sub>1</sub> to C<sub>10</sub> substituted alkylsulfonyl, C<sub>1</sub> to C<sub>12</sub> alkylaminocarbonyl, C<sub>1</sub> to C<sub>12</sub> substituted alkylaminocarbonyl, phenylaminocarbonyl, substituted phenylaminocarbonyl, C<sub>1</sub> to C<sub>12</sub> alkylaminothiocarbonyl, C<sub>1</sub> to C<sub>12</sub> substituted alkylaminothiocarbonyl, phenylaminothiocarbonyl and substituted phenylaminothiocarbonyl; or

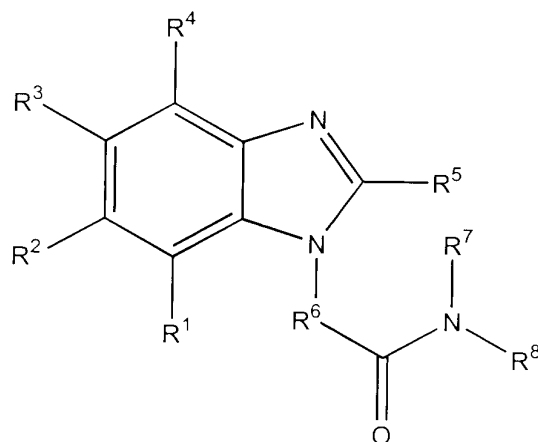
a pharmaceutically acceptable salt of a compound thereof.

43. (New) The single compound of claim 42, wherein:

where R<sup>6</sup> is methylene, at least one of R<sup>1</sup> to R<sup>4</sup> must be the formula -C(O)NR<sup>11</sup>R<sup>12</sup>; or

where R<sup>6</sup> is methylene, at least one of R<sup>1</sup> to R<sup>4</sup> must be the formula -C(O)R<sup>11</sup>, wherein R<sup>11</sup> is a heterocyclic ring or substituted heterocyclic ring, wherein said ring contains at least one nitrogen atom and wherein said nitrogen atom is attached to the carbonyl carbon.

44. (New) A single compound of the formula:



wherein:

R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are, independently, selected from the group consisting of a hydrogen atom, halo, hydroxy, protected hydroxy, cyano, C<sub>1</sub> to C<sub>12</sub> alkyl, C<sub>2</sub> to C<sub>12</sub> alkenyl, C<sub>2</sub> to C<sub>12</sub> alkynyl, C<sub>1</sub> to C<sub>12</sub> substituted alkyl, C<sub>2</sub> to C<sub>12</sub> substituted alkenyl, C<sub>2</sub> to C<sub>12</sub> substituted alkynyl, C<sub>1</sub> to C<sub>12</sub> alkoxy, C<sub>1</sub> to C<sub>12</sub> substituted alkoxy, C<sub>1</sub> to C<sub>12</sub> acyloxy, C<sub>1</sub> to C<sub>12</sub> acyl, C<sub>3</sub> to C<sub>7</sub> cycloalkyl, C<sub>3</sub> to C<sub>7</sub> substituted cycloalkyl, C<sub>5</sub> to C<sub>7</sub> cycloalkenyl, C<sub>5</sub> to C<sub>7</sub> substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C<sub>7</sub> to C<sub>18</sub> phenylalkyl, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkyl, C<sub>1</sub> to C<sub>12</sub> heterocycloalkyl, C<sub>1</sub> to C<sub>12</sub> substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C<sub>2</sub> to C<sub>7</sub> alkylene, substituted cyclic C<sub>2</sub> to C<sub>7</sub> alkylene, cyclic C<sub>2</sub> to C<sub>7</sub> heteroalkylene, substituted cyclic C<sub>2</sub> to C<sub>7</sub> heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, protected amino,

(monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino, C<sub>1</sub> to C<sub>10</sub> alkylamino, C<sub>1</sub> to C<sub>10</sub> substituted alkylamino, carboxamide, protected carboxamide, C<sub>1</sub> to C<sub>10</sub> alkylthio, C<sub>1</sub> to C<sub>10</sub> substituted alkylthio, C<sub>1</sub> to C<sub>10</sub> alkylsulfonyl, C<sub>1</sub> to C<sub>10</sub> substituted alkylsulfonyl, C<sub>1</sub> to C<sub>10</sub> alkylsulfoxide, C<sub>1</sub> to C<sub>10</sub> substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl and the group consisting of (i) the formula -C(O)NR<sup>11</sup>R<sup>12</sup>, (ii) the formula -C(O)R<sup>11</sup>, (iii) the formula -NR<sup>11</sup>R<sup>12</sup>, (iv) the formula -SR<sup>11</sup>, (v) the formula -OR<sup>11</sup> and (vi) the formula -C(O)OR<sup>11</sup>, wherein R<sup>11</sup> and R<sup>12</sup> are, independently, selected from the group consisting of a hydrogen atom, C<sub>1</sub> to C<sub>12</sub> alkyl, C<sub>1</sub> to C<sub>12</sub> substituted alkyl, C<sub>2</sub> to C<sub>12</sub> alkenyl, C<sub>2</sub> to C<sub>12</sub> substituted alkenyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, C<sub>7</sub> to C<sub>18</sub> phenylalkyl, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkyl, C<sub>1</sub> to C<sub>12</sub> heterocycloalkyl, C<sub>1</sub> to C<sub>12</sub> substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle, substituted heterocycle, phenylsulfonyl, substituted phenylsulfonyl, C<sub>1</sub> to C<sub>10</sub> alkylsulfonyl, C<sub>1</sub> to C<sub>10</sub> substituted alkylsulfonyl, C<sub>1</sub> to C<sub>12</sub> alkylaminocarbonyl, C<sub>1</sub> to C<sub>12</sub> substituted alkylaminocarbonyl, phenylaminocarbonyl and substituted phenylaminocarbonyl;

R<sup>5</sup> is selected from the group consisting of phenyl, substituted phenyl, C<sub>7</sub> to C<sub>18</sub> phenylalkyl, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkyl, C<sub>1</sub> to C<sub>12</sub> heterocycloalkyl, C<sub>1</sub> to C<sub>12</sub> substituted heterocycloalkyl, carboxy, protected carboxy, protected (monosubstituted)amino,

(disubstituted)amino, C<sub>1</sub> to C<sub>12</sub> substituted acyl, C<sub>1</sub> to C<sub>12</sub> alkoxy carbonyl, C<sub>1</sub> to C<sub>12</sub> substituted alkoxy carbonyl, heterocycle, substituted heterocycle, naphthyl, substituted naphthyl, C<sub>3</sub> to C<sub>7</sub> cycloalkyl, C<sub>3</sub> to C<sub>7</sub> substituted cycloalkyl, C<sub>5</sub> to C<sub>7</sub> cycloalkenyl and C<sub>5</sub> to C<sub>7</sub> substituted cycloalkenyl;

R<sup>6</sup> is the formula:

-D-W-E-

wherein:

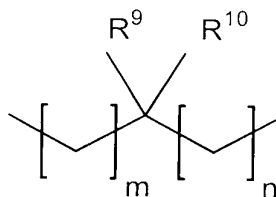
zero, one or two of D, W, and E can be absent;

W, if present, is selected from the group consisting of phenylene, substituted phenylene, C<sub>3</sub> to C<sub>7</sub> cycloalkylene, C<sub>3</sub> to C<sub>7</sub> substituted cycloalkylene, C<sub>5</sub> to C<sub>7</sub> cycloalkenylene, C<sub>5</sub> to C<sub>7</sub> substituted cycloalkenylene, arylene, substituted arylene, heterocyclene, substituted heterocyclene, heteroarylene and substituted heteroarylene;

and D, which is directly attached to the nitrogen depicted in the formula, if present, and E, if present, are independently selected from the group consisting of C<sub>1</sub> to C<sub>12</sub> alkylene, C<sub>2</sub> to C<sub>12</sub> alkenylene, C<sub>2</sub> to C<sub>12</sub> alkynylene, C<sub>1</sub> to C<sub>12</sub> substituted alkylene, C<sub>2</sub> to C<sub>12</sub> substituted



alkenylene, C<sub>2</sub> to C<sub>12</sub> substituted alkynylene, C<sub>3</sub> to C<sub>7</sub> cycloalkylene, C<sub>3</sub> to C<sub>7</sub> substituted cycloalkylene, C<sub>5</sub> to C<sub>7</sub> cycloalkenylene, C<sub>5</sub> to C<sub>7</sub> substituted cycloalkenylene, C<sub>7</sub> to C<sub>18</sub> phenylalkylene, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkylene, C<sub>1</sub> to C<sub>12</sub> heterocycloalkylene and C<sub>1</sub> to C<sub>12</sub> substituted heterocycloalkylene, -NH- and the formula:



wherein R<sup>9</sup> and R<sup>10</sup> are, independently, selected from the group consisting of a hydrogen atom, C<sub>1</sub> to C<sub>12</sub> alkyl, C<sub>2</sub> to C<sub>12</sub> alkenyl, C<sub>2</sub> to C<sub>12</sub> alkynyl, C<sub>1</sub> to C<sub>12</sub> substituted alkyl, C<sub>2</sub> to C<sub>12</sub> substituted alkenyl, C<sub>2</sub> to C<sub>12</sub> substituted alkynyl, C<sub>1</sub> to C<sub>12</sub> acyl, C<sub>1</sub> to C<sub>12</sub> substituted acyl, C<sub>3</sub> to C<sub>7</sub> cycloalkyl, C<sub>3</sub> to C<sub>7</sub> substituted cycloalkyl, C<sub>5</sub> to C<sub>7</sub> cycloalkenyl, C<sub>5</sub> to C<sub>7</sub> substituted cycloalkenyl, a heterocyclic ring, substituted heterocyclic ring, heteroaryl, substituted heteroaryl, C<sub>7</sub> to C<sub>18</sub> phenylalkyl, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkyl, C<sub>1</sub> to C<sub>12</sub> heterocycloalkyl, C<sub>1</sub> to C<sub>12</sub> substituted

heterocycloalkyl, C<sub>7</sub> to C<sub>18</sub> phenylalkoxy, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkoxy, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C<sub>2</sub> to C<sub>7</sub> alkylene, substituted cyclic C<sub>2</sub> to C<sub>7</sub> alkylene, cyclic C<sub>2</sub> to C<sub>7</sub> heteroalkylene, substituted cyclic C<sub>2</sub> to C<sub>7</sub> heteroalkylene, carboxy, protected carboxy, hydroxymethyl and protected hydroxymethyl; and m and n are, independently, 0, 1, 2, 3 or 4; and

R<sup>7</sup> and R<sup>8</sup> are, independently, selected from the group consisting of a functionalized resin, a hydrogen atom, C<sub>1</sub> to C<sub>12</sub> alkyl, C<sub>1</sub> to C<sub>12</sub> substituted alkyl, phenyl, substituted phenyl, heterocycle, substituted heterocycle, C<sub>3</sub> to C<sub>7</sub> cycloalkyl, C<sub>3</sub> to C<sub>7</sub> substituted cycloalkyl, C<sub>5</sub> to C<sub>7</sub> cycloalkenyl, C<sub>5</sub> to C<sub>7</sub> substituted cycloalkenyl, C<sub>2</sub> to C<sub>12</sub> alkenyl, C<sub>2</sub> to C<sub>12</sub> substituted alkenyl, C<sub>7</sub> to C<sub>18</sub> phenylalkyl, C<sub>7</sub> to C<sub>18</sub> substituted phenylalkyl, C<sub>1</sub> to C<sub>12</sub> heterocycloalkyl and C<sub>1</sub> to C<sub>12</sub> substituted heterocycloalkyl, C<sub>1</sub> to C<sub>12</sub> acyl, C<sub>1</sub> to C<sub>12</sub> substituted acyl, phenylsulfonyl, substituted phenylsulfonyl, C<sub>1</sub> to C<sub>10</sub> alkylsulfonyl, C<sub>1</sub> to C<sub>10</sub> substituted alkylsulfonyl, C<sub>1</sub> to C<sub>12</sub> alkylaminocarbonyl, C<sub>1</sub> to C<sub>12</sub> substituted alkylaminocarbonyl, phenylaminocarbonyl, substituted phenylaminocarbonyl, C<sub>1</sub> to C<sub>12</sub> alkylaminothiocarbonyl, C<sub>1</sub> to C<sub>12</sub> substituted alkylaminothiocarbonyl, phenylaminothiocarbonyl and substituted phenylaminothiocarbonyl; or

a pharmaceutically acceptable salt of a compound thereof.

45. (New) The single compound of claim 44, wherein:

if R<sup>6</sup> is methylene, at least one of R<sup>1</sup> to R<sup>4</sup> must be the formula -C(O)NR<sup>11</sup>R<sup>12</sup>; or

if R<sup>6</sup> is methylene, at least one of R<sup>1</sup> to R<sup>4</sup> must be the formula -C(O)R<sup>11</sup>, wherein R<sup>11</sup> is a heterocyclic ring or substituted heterocyclic ring, wherein said ring contains at least one nitrogen atom and wherein said nitrogen atom is attached to the carbonyl carbon.

46. (New) The single compound of claim 44, wherein:

R<sup>1</sup>, R<sup>2</sup> and R<sup>4</sup> are each a hydrogen atom and R<sup>3</sup> is the formula -C(O)NR<sup>11</sup>R<sup>12</sup>, wherein R<sup>11</sup> is selected from the group consisting of a hydrogen atom, methyl, ethyl and benzyl and R<sup>12</sup> is selected from the group consisting of a hydrogen atom, 2-(2-methoxyphenyl)ethyl, (1-ethyl-2-pyrrolidino)methyl, pyridin-2-ylmethyl, 2-methyl-5-chlorophenyl, (2-(pyridin-2-yl)ethyl), 1-ethyl-2-pyrrolidinylmethyl, 3,3,5-trimethylcyclohexyl, 3,4-methylenedioxyphenyl, 3-(trifluoromethyl)benzyl, pyridin-4-ylmethyl, 6-indazolyl, 2-(ethoxycarbonyl)ethyl, cyclooctyl, cyclopropyl, benzyl, N,N-(diethylamino)ethyl, 3-(2-oxo-1-pyrrolidine)propyl, 3-(4-morpholino)propyl, (ethoxycarbonyl)methyl and cyclohexyl;

R<sup>5</sup> is selected from the group consisting of phenoxyphenyl, 4-hydroxy-3-methoxyphenyl, 3,4,5-trimethoxyphenyl,

3-hydroxy-4-methoxyphenyl, 4-acetamidophenyl,  
4-phenoxyphenyl, 4-methoxyl-1-naphthyl, 4-bromo-2-thienyl,  
4-pyridyl, isopropyl, 2-methylthioethyl,  
4-chloro-3-nitrophenyl, 3-nitrophenyl, 4-t-butylphenyl,  
2,3-dichlorophenyl, 3,5-bis(trifluoromethyl)phenyl,  
2,5-difluorophenyl, 2-quinolyl,  
2-chloro-3,4-dimethoxyphenyl, 5-methyl-2-furyl,  
4-chloro-3-fluorophenyl,  
2-phenyl-4-imidazolyl, 2-(ethoxycarbonyl)cyclopropyl,  
5-nitro-2-furyl, 4-bromophenyl, cyclopropyl,  
2-norbornen-5-yl, 6-nitropiperonyl, 2-chloro-5-nitrophenyl,  
5-hydroxy-2-nitrophenyl, 3-hydroxyphenyl,  
3,4-difluorophenyl, 4-dimethylaminophenyl,  
4-methylthiophenyl, 4-(trifluoromethyl)phenyl, 2-thienyl,  
2,3-dimethoxyphenyl, 3-ethoxy-4-hydroxyphenyl,  
4-cyanophenyl, 3-cyanophenyl, 2-furyl, 4-nitrophenyl,  
1-naphthyl, 2-methoxyphenyl, 4-isopropylphenyl, piperonyl,  
2-fluorophenyl, 4-ethoxyphenyl and 2,4-dihydroxyphenyl;

R<sup>6</sup> is selected from the group consisting of methylene,  
ethylidene, ethylene, propylene, pentylene, isopentylidene,  
3-aminocarbonylbutylidene, 2-methylthiopropylidene,  
isobutylidene, phenylmethylene, benzylmethylene,  
cyclohexylethylidene, 4-chlorobenzylmethylene,  
indol-3-ylethylidene, 4-trifluoroacetamidopentylidene,  
3-guanidobutylidene, hydroxyethylidene,  
2-aminocarbonylpropylidene, isopentylidene,  
mercaptoethylidene, 4-hydroxybenzylmethylene,  
1,3-phenylene, 1,4-phenylene, 1,4-(phenylene)-NH-,

3,6-dioxaoctylene-NH-, -CH<sub>2</sub>CH<sub>2</sub>NH- and  
1,4-(cyclohexylene)-NH-;

and

R<sup>7</sup> and R<sup>8</sup> are each a hydrogen atom.

47. (New) The single compound of claim 44, wherein:

R<sup>1</sup>, R<sup>2</sup> and R<sup>4</sup> are each a hydrogen atom and R<sup>3</sup> is the formula  
-C(O)R<sup>11</sup>, wherein R<sup>11</sup> is selected from the group consisting  
of 1,3,3-trimethyl-6-aza-6-bicyclo(3,2,1)octyl,  
4-(4-fluorophenyl)-1-piperazino, 4-acetyl-1-piperazino,  
piperazino, 2-methyl-4-(3-methylphenyl)-1-piperazino,  
4-(ethoxycarbonyl)piperidino, N-methylhomopiperazino and  
N,N'-diisopropylimidamino;

R<sup>5</sup> is selected from the group consisting of phenoxyphenyl,  
4-hydroxy-3-methoxyphenyl, 3,4,5-trimethoxyphenyl,  
3-hydroxy-4-methoxyphenyl, 4-acetamidophenyl,  
4-phenoxyphenyl, 4-methoxyl-1-naphthyl, 4-bromo-2-thienyl,  
4-pyridyl, isopropyl, 2-methylthioethyl,  
4-chloro-3-nitrophenyl, 3-nitrophenyl, 4-t-butylphenyl,  
2,3-dichlorophenyl, 3,5-bis(trifluoromethyl)phenyl,  
2,5-difluorophenyl, 2-quinolyl,  
2-chloro-3,4-dimethoxyphenyl, 5-methyl-2-furyl,  
4-chloro-3-fluorophenyl,  
2-phenyl-4-imidazolyl, 2-(ethoxycarbonyl)cyclopropyl,  
5-nitro-2-furyl, 4-bromophenyl, cyclopropyl,  
2-norbornen-5-yl, 6-nitropiperonyl, 2-chloro-5-nitrophenyl,

5-hydroxy-2-nitrophenyl, 3-hydroxyphenyl,  
3,4-difluorophenyl, 4-dimethylaminophenyl,  
4-methylthiophenyl, 4-(trifluoromethyl)phenyl, 2-thienyl,  
2,3-dimethoxyphenyl, 3-ethoxy-4-hydroxyphenyl,  
4-cyanophenyl, 3-cyanophenyl, 2-furyl, 4-nitrophenyl,  
1-naphthyl, 2-methoxyphenyl, 4-isopropylphenyl, piperonyl,  
2-fluorophenyl, 4-ethoxyphenyl and 2,4-dihydroxyphenyl;

R<sup>6</sup> is selected from the group consisting of methylene,  
ethylidene, ethylene, propylene, pentylene, isopentylidene,  
3-aminocarbonylbutylidene, 2-methylthiopropylidene,  
isobutylidene, phenylmethylenes, benzylmethylenes,  
cyclohexylethylidene, 4-chlorobenzylmethylenes,  
indol-3-ylethylidene, 4-trifluoroacetamidopentylidene,  
3-guanidobutylidene, hydroxyethylidene,  
2-aminocarbonylpropylidene, isopentylidene,  
mercaptoethylidene, 4-hydroxybenzylmethylenes,  
1,3-phenylene, 1,4-phenylene, 1,4-(phenylene)-NH-,  
3,6-dioxaoctylene-NH-, -CH<sub>2</sub>CH<sub>2</sub>NH- and  
1,4-(cyclohexylene)-NH-;

and

R<sup>7</sup> and R<sup>8</sup> are each a hydrogen atom.

48. (New) A method of preparing the single compound of  
claim 39, comprising:

(a) coupling a first compound having a substituent of the  
formula -NH-C(O)-variable group-NH<sub>2</sub> with a benzene compound

that is substituted with a nitro group and a halo group in an ortho relationship on the benzene ring, the benzene compound optionally substituted with a variable group at one or more of the remaining 4 positions of the benzene ring, resulting in a benzene compound substituted with a nitro group and a monosubstituted amino group in an ortho relationship on the benzene ring;

(b) reducing the nitro group of the benzene compound resulting from step (a); and

(c) coupling the compound resulting from step (b) with an aldehyde compound, resulting in a benzimidazole derivative compound.